

(19)



JAPANESE PATENT OFFICE

PATENT ABSTRACTS OF JAPAN

(11) Publication number: 09136841 A

(43) Date of publication of application: 27 . 05 . 97

(51) Int. Cl.

A61K 45/00
C12N 9/99
// A61K 35/58
A61K 38/00
A61K 38/00
A61K 38/00
A61K 38/00
A61K 38/00
C07C237/22

(21) Application number: 08208490

(22) Date of filing: 07 . 08 . 96

(30) Priority: 07 . 08 . 95 IT 95RM 557

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(54) ASSAY OF EFFICACY IN TERMS OF THERAPY
FOR METALLOPROTEINASE INHIBITOR, NEW
INHIBITOR, AND ITS USE IN THERAPY

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(57) Abstract:

PROBLEM TO BE SOLVED: To provide a method for quantitatively and highly reliably evaluating the activity of a substance inhibiting an enzyme existing in snake venom and mammal body, and to obtain the inhibitor evaluated by the method.

SOLUTION: (A) Using a zinc-dependent metalloproteinase existing in the venom of snakes belonging to Grotalidae or Viperidae, the inhibitory activity of a peptide analogue having ability to inhibit the above enzyme is subjected to primary screening. Subsequently, (B) the inhibitory activity of the above-mentioned peptide analogue against the zinc-dependent metalloproteinase existing in mammal body is assayed. Then, (C) the activity of the peptide analogue for a relevant morbid state is demonstrated by the standard pharmacological examination. The peptide analogue is e.g. a compound of formula I [A is a group of formula II; Y is OH, NH₂, NHOH, etc.; R₄ is CH-(CH₃)₂, phenyl, etc.; X is 5-methoxy-1-indanon-3-acetyl, naphthoyl, etc.].

X-A-C_Y-YR₄

I

NH-CH-CO

CH₂

II